

10/670,596

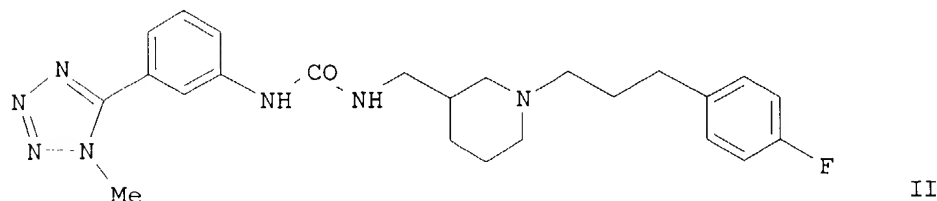
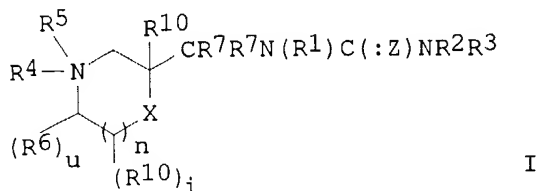
STM STRUCTURE SEARCH  
5-25-04

=> d ibib abs hitstr 1-9

16 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
 INVENTOR(S): Batt, Douglas G.  
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
 SOURCE: PCT Int. Appl., 215 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

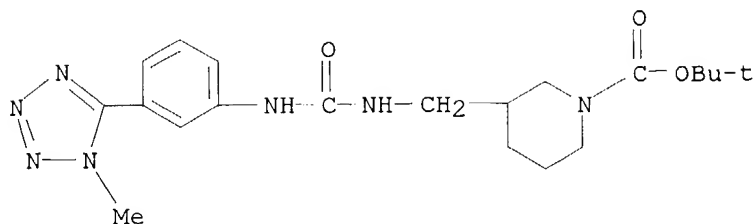
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004028530	A1	20040408	WO 2003-US30256	20030925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004067935	A1	20040408	US 2003-670596	20030925
PRIORITY APPLN. INFO.:			US 2002-413895P	P 20020926

GI



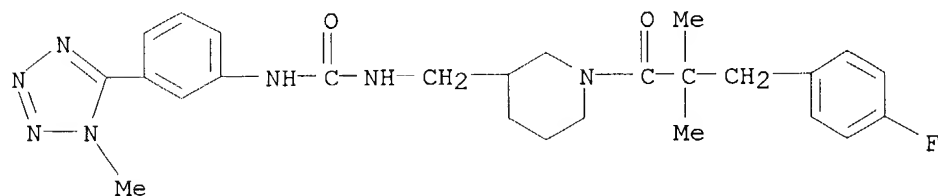
AB The present application describes modulators of chemokine receptors (no data) (shown as I; variables defined below; e.g. II) or pharmaceutically acceptable salt forms thereof, useful for the prevention of asthma and other allergic diseases (no data). Although the methods of preparation are not claimed, >15 example preps. and characterization data for .apprx.60 examples of I are included. For example, II was prepared in 3 steps (96,

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RN 676621-97-9 CAPLUS

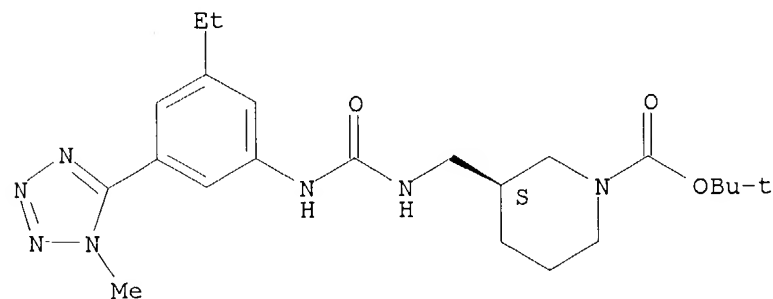
CN 3-Piperidinemethanamine, 1-[3-(4-fluorophenyl)-2,2-dimethyl-1-oxopropyl]-N-[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 676622-21-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[[[3-ethyl-5-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]methyl]-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:836848 CAPLUS

DOCUMENT NUMBER: 139:350754

TITLE: Preparation of 2,3-diphenylquinoxaline derivatives as inhibitors of Akt activity for treating cancer

INVENTOR(S): Bilodeau, Mark T.; Duggan, Mark E.; Hartnett, John C.; Lindsley, Craig W.; Manley, Peter J.; Wu, Zhicai; Zhao, Zhijian

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

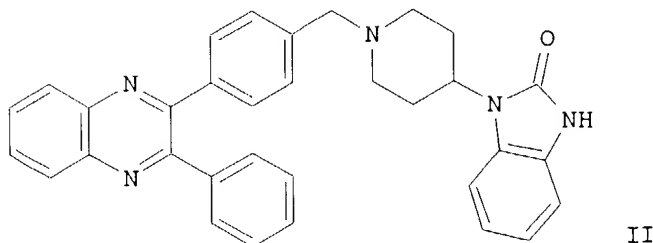
SOURCE: PCT Int. Appl., 228 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:



AB The title compds. comprising a 2,3-diphenylquinoxaline moiety [I; u, v, w and x = CH, N; y, z = CH, N (provided that at least one of y and z = N); Q = NR5R6, (un)substituted aryl, heterocyclyl; R1 = alkenyl, halo, CN, etc.; R2 = OH, CN, CO2H, etc.; R3, R4 = H, alkyl, perfluoroalkyl; or R3 and R4 are combined to form (CH2)t wherein one of the carbon atoms is optionally replaced by O, SOM, (un)substituted NHCO, N(COH); R5, R6 = H, aryl, heterocyclyl, etc.; or NR5R6 = monocyclic or bicyclic heterocycle; R7 = halo, CN, CO2H, etc.; n = 0-3; p = 0-2; t = 2-6; m = 0-2; q = 0-4; r =

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0-1] and their salts which inhibit the activity of Akt, a serine/threonine protein kinase, were prepared. E.g., a 2-step synthesis of the quinoxaline II [starting from 4-bromomethylbenzil and 4-(2-keto-1-benzimidazoliny]piperidine], was given. The exemplified compds. I were found to have IC<sub>50</sub> of  $\leq 50 \mu\text{M}$  against one or more of Akt1, Akt2 and Akt3. The invention is further directed to chemotherapeutic compns. containing the compds. I and methods for treating cancer comprising administration of the compds. I.

IT 616867-75-5P 616867-76-6P 616867-77-7P

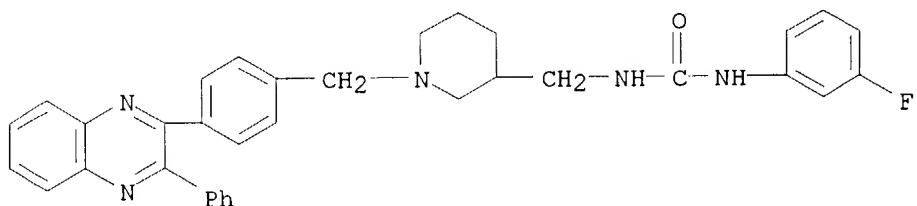
616867-78-8P 616867-79-9P 616867-80-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,3-diphenylquinoxaline derivs. as inhibitors of Akt activity for treating cancer)

RN 616867-75-5 CAPLUS

CN Urea, N-(3-fluorophenyl)-N'-[[1-[[4-(3-phenyl-2-quinoxaliny]phenyl)methyl]-3-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



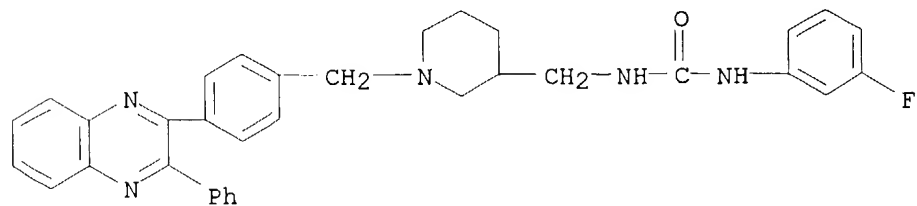
RN 616867-76-6 CAPLUS

CN Urea, N-(3-fluorophenyl)-N'-[[1-[[4-(3-phenyl-2-quinoxaliny]phenyl)methyl]-3-piperidinyl)methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 616867-75-5

CMF C34 H32 F N5 O

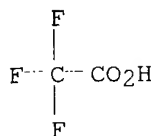


CM 2

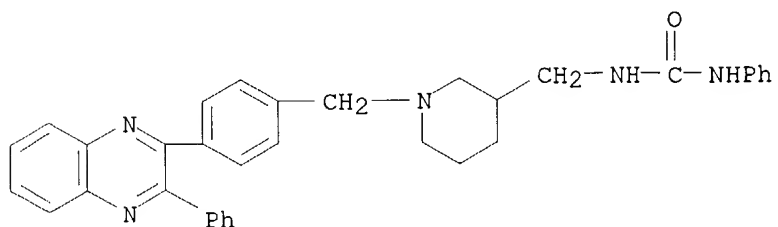
CRN 76-05-1

CMF C2 H F3 O2

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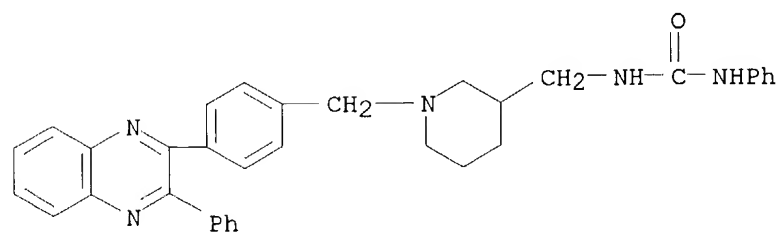
RN 616867-77-7 CAPLUS  
CN Urea, N-phenyl-N'-[[1-[[4-(3-phenyl-2-quinoxaliny)phenyl]methyl]-3-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 616867-78-8 CAPLUS  
CN Urea, N-phenyl-N'-[[1-[[4-(3-phenyl-2-quinoxaliny)phenyl]methyl]-3-piperidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

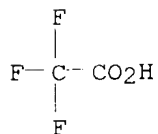
CM 1

CRN 616867-77-7  
CMF C34 H33 N5 O



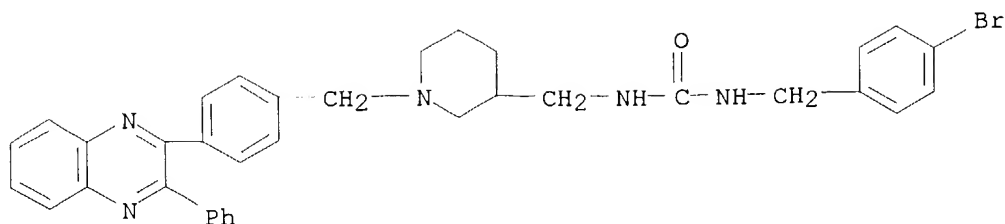
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 616867-79-9 CAPLUS  
CN Urea, N-[(4-bromophenyl)methyl]-N'-[[1-[[4-(3-phenyl-2-quinoxaliny)phenyl]methyl]-3-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

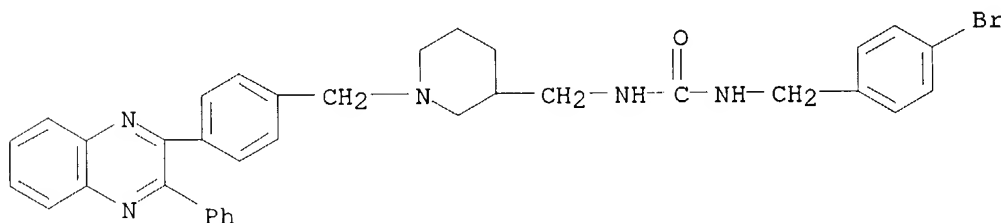
10/670,596



RN 616867-80-2 CAPLUS  
CN Urea, N-[(4-bromophenyl)methyl]-N'-[[1-[[4-(3-phenyl-2-quinoxaliny)phenyl]methyl]-3-piperidinyl]methyl]-, trifluoroacetate (9CI)  
(CA INDEX NAME)

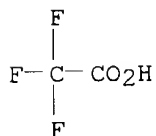
CM 1

CRN 616867-79-9  
CMF C35 H34 Br N5 O



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2001:830896 CAPLUS  
DOCUMENT NUMBER: 135:357846  
TITLE: Preparation of ethylenediamine compound libraries as drugs  
INVENTOR(S): Swayze, Eric Edward; Jefferson, Elizabeth Anne Campbell  
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA  
SOURCE: U.S., 26 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English

10/670,596

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6316623	B1	20011113	US 1998-138186	19980821
PRIORITY APPLN. INFO.:			US 1998-138186	19980821

OTHER SOURCE(S): MARPAT 135:357846

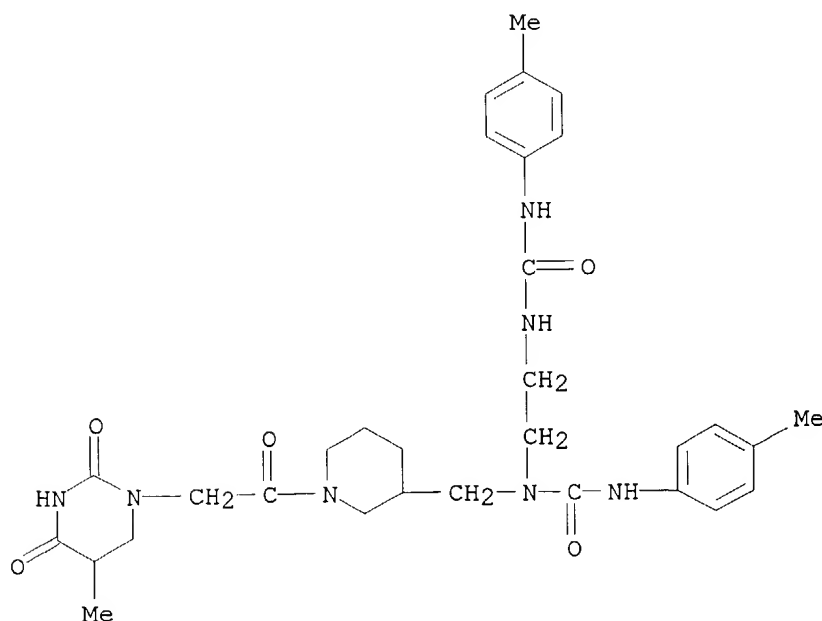
AB R5Z(CH2)pNR4CHR2CHR1NR3R6 [I; R1,R2 = H, alkyl, (hetero)aryl(alkyl), etc.; R3-R5 = H, amino-protective group, alkyl, alkanoyl, etc.; R6 = H or linked support; Z = azacycloalkylene; p = 0-3] were prepared Thus, RNTsCH2CH2NHSO2C6H4(NO2)-2 (R = linked resin, Ts = tosyl) was condensed with N-protected piperidine-4-methanol (preparation each given) and the product converted in 5 steps to PhCH2COZCH2N(COC6H4Me-3)CH2CH2NHTs (Z = piperidine-1,4-diyl). Data for biol. activity of I were given.

IT 372947-22-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of ethylenediamine compound libraries as drugs)

RN 372947-22-3 CAPLUS

CN 3-Piperidinemethanamine, N-[[[(4-methylphenyl)amino]carbonyl]-N-[2-[[[(4-methylphenyl)amino]carbonyl]amino]ethyl]-1-[(tetrahydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:742068 CAPLUS

DOCUMENT NUMBER: 133:281698

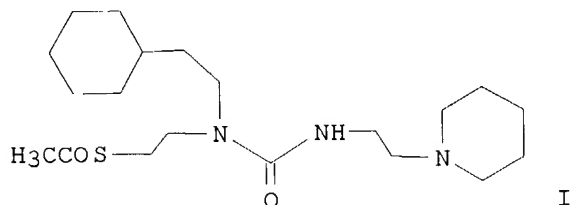
TITLE: Preparation of N-piperidinylethyl-N'-cyclohexylethyl-N'-acetylthioethylurea derivatives as TNF- $\alpha$  production inhibitors and useful in treatment of autoimmune diseases

INVENTOR(S): Mita, Shiro; Horiuchi, Masato; Ban, Masakazu; Suhara, Hiroshi

10/670,596

PATENT ASSIGNEE(S): Santen Pharmaceutical Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 49 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061552	A1	20001019	WO 2000-JP2267	20000407
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2000351764	A2	20001219	JP 2000-105901	20000407
EP 1172359	A1	20020116	EP 2000-915410	20000407
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002077357	A1	20020620	US 2001-969589	20011004
US 6534499	B2	20030318		
PRIORITY APPLN. INFO.:			JP 1999-100482	A 19990407
			WO 2000-JP2267	W 20000407
OTHER SOURCE(S):			MARPAT 133:281698	
GI				



AB Title compound I, derivs., analogs, and medicinally acceptable salts are prepared having TNF- $\alpha$  production inhibiting effects and effective in treatment of autoimmune diseases. Thus, the title compound I was prepared and tested.

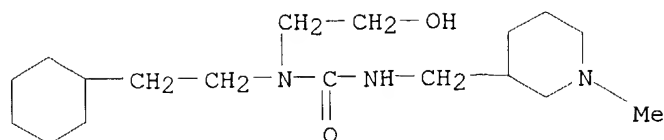
IT **300577-67-7P 300578-05-6P**  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of urea derivs. as TNF- $\alpha$  production inhibitors and useful in treatment of autoimmune diseases)

RN 300577-67-7 CAPLUS

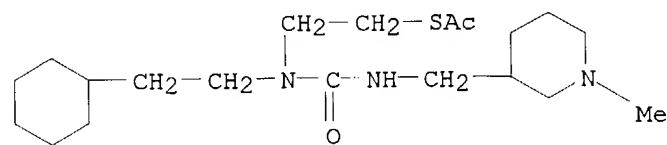
CN Urea, N-(2-cyclohexylethyl)-N-(2-hydroxyethyl)-N'-[(1-methyl-3-piperidiny)methyl]- (9CI) (CA INDEX NAME)



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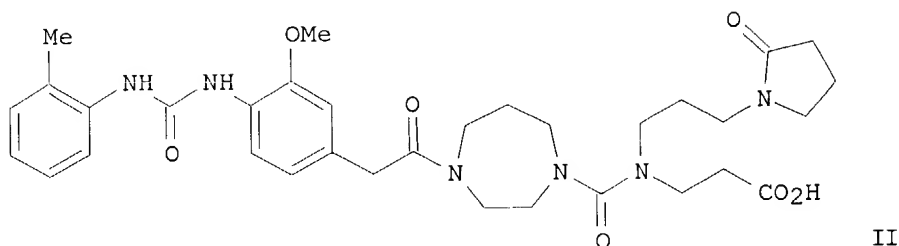
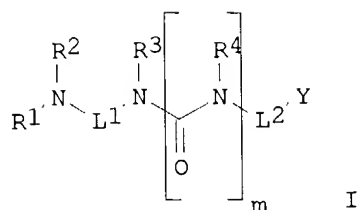
RN 300578-05-6 CAPLUS  
CN Ethanethioic acid, S-[2-[(2-cyclohexylethyl)[[(1-methyl-3-piperidinyl)methyl]amino]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1999:691093 CAPLUS  
DOCUMENT NUMBER: 131:310284  
TITLE: Preparation of substituted diamines as  $\alpha 4\beta 1$  mediated cell adhesion inhibitors  
INVENTOR(S): Mccarthy, Clive; Harris, Neil Victor; Morley, Andrew David  
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Limited, UK  
SOURCE: PCT Int. Appl., 189 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954321	A1	19991028	WO 1999-GB1230	19990421
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9937164	A1	19991108	AU 1999-37164	19990421
PRIORITY APPLN. INFO.:				
GB 1998-8431 A 19980421				
GB 1998-11417 A 19980528				
US 1998-104139P P 19981014				
US 1998-104238P P 19981014				
WO 1999-GB1230 W 19990421				
OTHER SOURCE(S): MARPAT 131:310284				
GI				



AB Substituted diamines (I) [wherein R1 = lower alkyl or various combinations of substituents, such as (cyclo)alkyl, (cyclo)alkenyl, (cyclo)alkynyl, (hetero)aryl(alkyl), etc., and linkage groups, such as C(O), C(S), (un)substituted NHC(O) or NHC(S), S(O), SO<sub>2</sub>, heteroaryldiyl, heterocycloalkylene, phenylene, etc.; R2 = H or lower alkyl; R3 and R4 = independently H or (un)substituted alkyl, alkenyl, or alkynyl; or R3 and R4 together may = (CH<sub>2</sub>)<sub>n</sub> or C(O)CH:CH; L1 = alkylene or (un)substituted (CHR<sub>10</sub>)pAr(CHR<sub>10</sub>)p; or L1N(R3) = (un)substituted alkylheterocyclo; or N(R2)L1 = (un)substituted heterocycloalkyl; or N(R2)L1N(R3) = diaza heterocyclo; L2 = (un)substituted alkylene, alkenylene, alkynylene, cycloalkenylene, cycloalkylene, or heterocycloalkylene; Y = carboxy (or an acid bioisostere) or (un)substituted C(O)NH<sub>2</sub>; Ar = phenylene, (hetero)cycloalkylene, or heteroaryldiyl; R<sub>10</sub> = H or lower alkyl; m = 0 or 1; n = 2-4; p = 0-3] were prep'd by solid phase synthesis as α<sub>4</sub>β<sub>1</sub> mediated cell adhesion inhibitors. For example, the ureido derivative (II) was prepared using a Wang resin support. The resin was loaded with acryloyl chloride and treated sequentially with 1-(3-aminopropyl)-2-pyrrolidinone, triphosgene, homopiperazine, and 3-methoxy-4-[[3-(2-methylphenyl)ureido]phenyl]acetic acid to yield II. Compds. of formula I regulate the interaction of VCAM-1 and fibronectin with the integrin VLA-4 (α<sub>4</sub>β<sub>1</sub>). Particular compds. of the invention suppressed cell adhesion to fibronectin and VCAM-1 with IC<sub>50</sub> values ranging from 100 μM to 1 nM in assays on metabolically labeled RAMOS cells. Particular compds. also inhibited airway inflammation after antigen challenge in mice and rats. The inhibitors caused a statistically significant reduction in eosinophil and lymphocyte nos. in bronchoalveolar lavage (BAL) and airway tissue. The invention compds., their prodrugs, pharmaceutically acceptable salts, and solvates, are useful for the treatment of inflammatory diseases and asthma.

# IT 247253-46-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(target compound; preparation of substituted diamines as α<sub>4</sub>β<sub>1</sub> mediated cell adhesion inhibitors for treatment of inflammatory diseases and asthma)

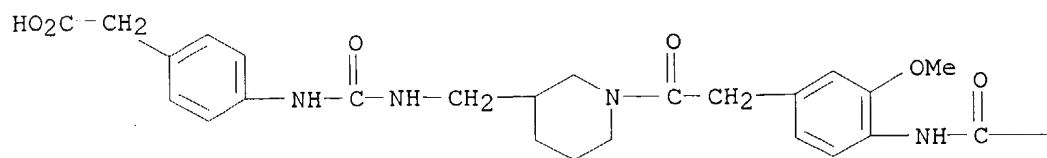
RN 247253-46-9 CAPLUS

CN Benzeneacetic acid, 4-[[[[[1-[[3-methoxy-4-[[[(2-

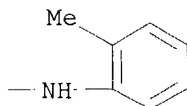
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methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-3-  
piperidinyl)methyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:332965 CAPLUS

DOCUMENT NUMBER: 131:44643

TITLE: Preparation of phenol derivatives as antioxidants and  
ACAT inhibitors

INVENTOR(S): Suzuki, Toshikazu; Ohmizu, Hiroshi; Hashimura,  
Yoshitada; Kubota, Hitoshi; Tanaka, Keiko

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 70 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

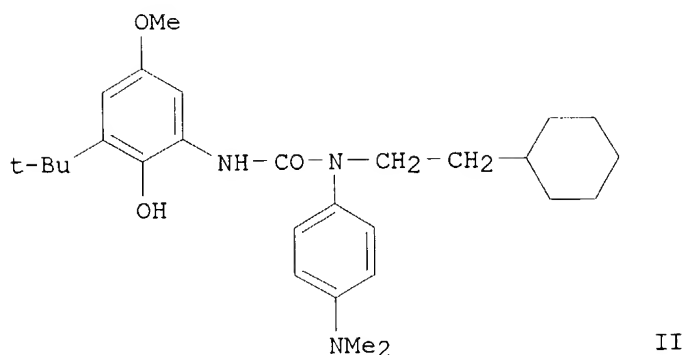
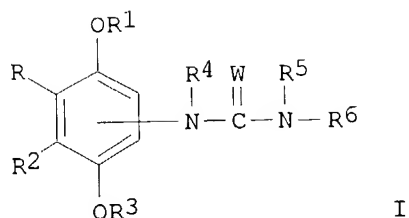
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11139969	A2	19990525	JP 1998-220951	19980805
PRIORITY APPLN. INFO.:			JP 1997-212376	19970807
OTHER SOURCE(S):		MARPAT 131:44643		
GI				

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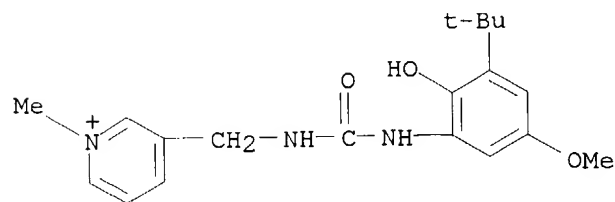
AB The title compds. I [R = H, (un)substituted alkyl, etc.; R1 = (un)substituted alkyl; R2 = (un)substituted alkyl, etc.; OR3= (protected) OH; R4 = H, (un)substituted alkyl, etc.; W = O, etc.; NR5R6 = (mono- or disubstituted) amino, etc.] are prepared The title compound II in vitro showed IC50 of 0.000067  $\mu$ M against ACAT.

IT **195314-27-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of phenol derivs. as antioxidants and ACAT inhibitors)

RN 195314-27-3 CAPLUS

CN Pyridinium, 3-[[[3-(1,1-dimethylethyl)-2-hydroxy-5-methoxyphenyl]amino]carbonyl]amino]methyl]-1-methyl-, iodide (9CI) (CA INDEX NAME)

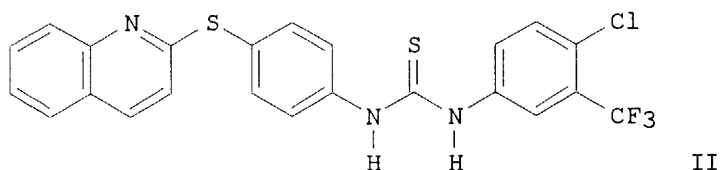


● I<sup>-</sup>

10/670,596

TITLE: Preparation of (hetero)arylthioureas and analogs as amyloid  $\beta$ -protein biosynthesis inhibitors  
INVENTOR(S): Heinz, Lawrence J.; Panetta, Jill A.; Phillips, Michael L.; Reel, Jon K.; Shadle, John K.; Simon, Richard L.; Whitesitt, Celia A.  
PATENT ASSIGNEE(S): Eli Lilly and Co., USA  
SOURCE: U.S., 16 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5814646	A	19980929	US 1995-398188	19950302
PRIORITY APPLN. INFO.:			US 1995-398188	19950302
OTHER SOURCE(S):	MARPAT 129:260350			
GI				

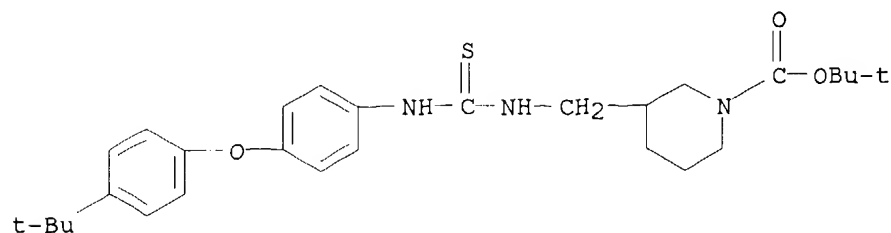


AB R1L1Y1C(:Z)Y2L2R2 [I; R1 = (un)substituted (hetero)aryl; R2 = cycloalkyl, heterocyclyl, (un)substituted (hetero)aryl; R2 may addnl. = H when L2 = alkylene; L1, L2 = bond or [phenyl(alkyl)] alkylene; 1 of Y1, Y2 = NR3 and the other = O, S, NR3; R3 = H, OH, alkyl, alkoxy, dialkylamino; Z = O or S] were prepared. Thus, 2-chloroquinoline was thioetherified by 4-(HS)C6H4NH2 and the product amidated by 4,3-Cl(F3C)C6H3NCS to give title compound II. Data for biol. activity of I were given.

IT **213691-47-5P**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of (hetero)arylthioureas and analogs as amyloid  $\beta$ -protein biosynthesis inhibitors)

RN 213691-47-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[[[4-[4-(1,1-dimethylethyl)phenoxy]phenyl]amino]thioxomethyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

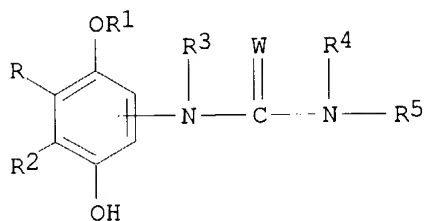


REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

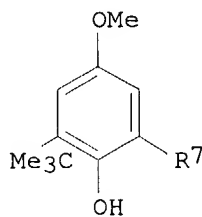
L6 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:589063 CAPLUS  
 DOCUMENT NUMBER: 127:234183  
 TITLE: Ureidophenols as ACAT inhibitors and antioxidants  
 INVENTOR(S): Suzuki, Toshikazu; Ohmizu, Hiroshi; Hashimura, Yoshimasa; Kubota, Hitoshi; Tanaka, Keiko  
 PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 84 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 790240	A1	19970820	EP 1997-102315	19970213
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2197364	AA	19970816	CA 1997-2197364	19970212
JP 10195037	A2	19980728	JP 1997-28582	19970213
US 5849732	A	19981215	US 1997-800680	19970214
CN 1165815	A	19971126	CN 1997-101973	19970217
PRIORITY APPLN. INFO.:			JP 1996-28083	19960215
			JP 1996-300032	19961112
OTHER SOURCE(S):		MARPAT 127:234183		
GI				



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II

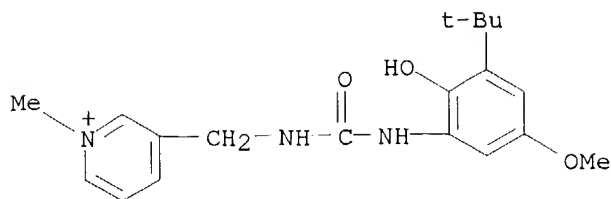
AB Ureidophenols I [R = H, alkyl, alkyloxy; R1 = alkyl; R2 = alkyl, alkoxy; R3 = H, alkyl, acyl; W = O, S or NR6; NR4R5 = (un)substituted NH2, N heterocycle; R6 = H, alkyl, aryl, OH, alkoxy] were prepared I possess both an ACAT inhibitory activity and an antioxidative activity (no data). Thus, 4,2-MeO(Me3C)C6H3OH was treated with 4-MeOC6H4NH2 to give the azobenzene II [R7 = N:NC6H4OMe-4], which was O-protected, reduced to the amine, treated with PhNCO, and O-deprotected to give the ureidophenol II [R7 = NHCONHPh].

IT 195314-27-3P

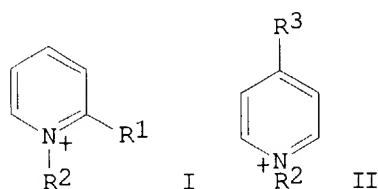
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of ureidophenols as ACAT inhibitors and antioxidants)

RN 195314-27-3 CAPLUS

CN Pyridinium, 3-[[[3-(1,1-dimethylethyl)-2-hydroxy-5-methoxyphenyl]amino]carbonyl]amino]methyl]-1-methyl-, iodide (9CI) (CA INDEX NAME)



L6 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1978:136425 CAPLUS  
 DOCUMENT NUMBER: 88:136425  
 TITLE: Studies on quaternary pyridinium salts, VII.  
 Quaternary pyridinium salts with urea or carbamate  
 group. Preparation of betaines  
 AUTHOR(S): Guendel, Wolf Hellmut  
 CORPORATE SOURCE: Chem. Lab., Univ. Freiburg, Freiburg/Br., Fed. Rep.  
 Ger.  
 SOURCE: Zeitschrift fuer Naturforschung, Teil B: Anorganische  
 Chemie, Organische Chemie (1978), 33B(1), 84-8  
 CODEN: ZNBAD2; ISSN: 0340-5087  
 DOCUMENT TYPE: Journal  
 LANGUAGE: German  
 GI



AB Pyridinium salts I and II ( $R_1 = \text{SO}_2\text{NH}_2, \text{NHCONHPh}, \text{NHCONHCH}_2\text{OMe}, \text{NHCO}_2\text{Et}, \text{NHCONH}_2, \text{CH}_2\text{NHCONHPh}$ ,  $R_2 = \text{MeOCH}_2, \text{Pr}, \text{PhCH}_2, 2,6\text{-Cl}_2\text{C}_6\text{H}_3\text{CH}_2$ ;  $R_3 = \text{NHCONHCH}_2\text{OMe}, \text{NHCONHPh}, \text{CONH}_2$  (14 compds) were prepared and their activity consts. determined. On addition of base some stable inner salts could be isolated.

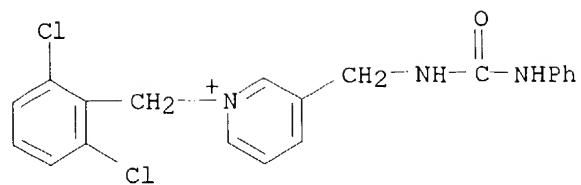
IT 66073-64-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 66073-64-1 CAPLUS

CN Pyridinium, 1-[(2,6-dichlorophenyl)methyl]-3-[[[(phenylamino)carbonyl]amino]methyl]-, chloride (9CI) (CA INDEX NAME)

10/670,596



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(FILE 'HOME' ENTERED AT 11:58:42 ON 25 MAY 2004)

FILE 'REGISTRY' ENTERED AT 11:58:47 ON 25 MAY 2004

L1           STRUCTURE UPLOADED  
L2           50 S L1  
L3           STRUCTURE UPLOADED  
L4           3 S L3  
L5           76 S L3 FULL

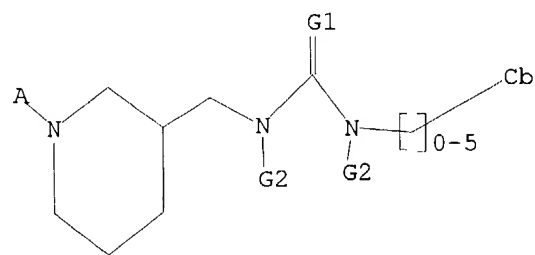
FILE 'CAPLUS' ENTERED AT 12:02:54 ON 25 MAY 2004

L6           9 S L5

=> d l3

L3 HAS NO ANSWERS

L3           STR



G1 C,O,S,N

G2 H,Ak

Structure attributes must be viewed using STN Express query preparation.

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